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WO9919300A1: PROSTAGLANDIN AGONISTS AND THEIR USE TO TREAT BONE DISORDERS

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Designated Countries: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, European patent: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, OAPI patent: BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG, ARIPO patent: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, Eurasian patent: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

Abstract: This invention relates to prostaglandin agonists, methods of using such prostaglandin agonists, pharmaceutical compositions containing such prostaglandin agonists and kits containing such prostaglandin agonists. The prostaglandin agonists are useful for the treatment of bone disorders including osteoporosis.
[Show "fr" Abstract]

Attorney, Agent, or Firm: SPIEGEL, Allen, J.;

Foreign References: none

(No patents reference this one)



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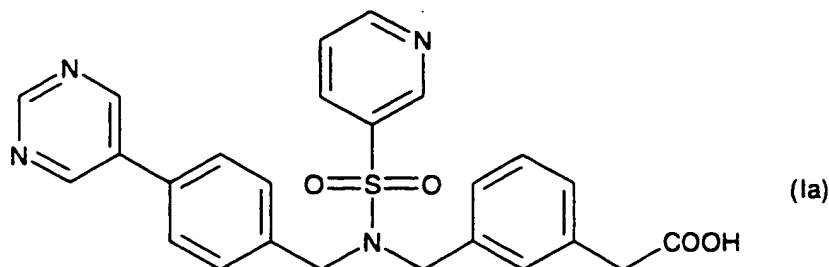
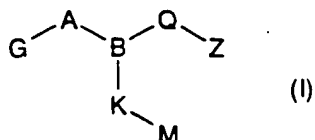
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New prostaglandin agonists - useful for the treatment of bone diseases (e.g. osteoporosis), kidney degeneration and glaucoma.

Drug Activity: Osteopathic; Antiinflammatory; Nephrotropic; Ophthalmological; Hypotensive

Mechanism of Action: Prostaglandin-Agonist

Compound Name: None Given



Use: For the treatment of osteoporosis (e.g. glucocorticoid-induced osteoporosis), osteotomy, childhood idiopathic bone loss or bone loss associated with periodontitis; for augmenting and maintaining bone mass (e.g. following facial reconstruction or treating bone fracture); for treating kidney degeneration, glaucoma, ocular hypertension (claimed) and as prostaglandin agonists.

Dosage: 0.001-100 (0.01-10) mg/kg/day. Administration may be systemic or local, such as oral, parenteral and intraduodenal.

Advantage: None given.

Biological Data: No data given.

Chemistry: Compounds of formula (I) and their prodrugs and salts are new.

A = SO₂ or CO; G = a defined aryl or bi-aryl containing group, arylamino, or R₁R₂-amino.

R₁, R₂ = H or alkyl, or together NR₁R₂ is a 5/6-membered heterocycle; B = N, or CH; Q = a defined divalent linking group such as alkylene optionally substituted and optionally interrupted by an aromatic ring.

Z = carboxyl, alkoxycarbonyl, tetrazolyl, 1,2,4-oxadiazolyl, 5-oxo-1,2,4-oxadiazolyl, 5-oxo-1,2,4-thiadiazolyl, alkylsulfonylcarbamoyl, or phenylsulfonylcarbamoyl; K = a bond, or alkylene optionally substituted and optionally interrupted by O or S; M = defined aryl, or defined biaryl (in which the aryl groups are linked via a heteroatom, a divalent linking group (e.g. alkylene) or directly by a bond); Provisos are given.

Several compounds are specifically claimed e.g. (3-(((pyridine-3-sulfonyl)-(4-pyrimidin-5-yl-benzyl)-amino)-methyl)-phenyl)-acetic acid (1a) (example 1a).

249 pages

Drawings 0/0

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WD-99-006109

PP - Gastrointestinal, Inflammation & Allergy

EP911321

Pfizer

Prostaglandin agonists used in the treatment of osteoporosis. See WO9827976 and WO9828264.

(11) EP 0 911 321 A2

(12) EUROPEAN PATENT APPLICATION

(43) Date of publication: 26.01.1999 Bulletin 1999/05

(71) Applicant: PFIZER INC., New York, NY 10017 (US)

(72) Inventors: CARMON, RANDY D.; LEVY, ELLIOT (US)

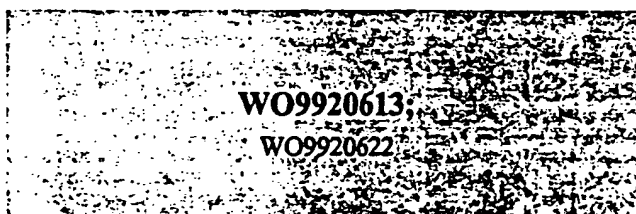
(54) Compounds for the treatment of osteoporosis

(57) This invention relates to prostaglandin agonists, methods of using such prostaglandin agonists, pharmaceutical compositions containing such agonists, and to the treatment of osteoporosis.

Structure is taken from Page 3 of the specification.

Chemical structure: R1-CH2-CH(R2)-CH(R3)-CH(R4)-R5

EP 0 911 321 A2



Pierre Fabre

1-4 Difunctionalised cyclohexane and 3-oxo-2(H)-1,2,4-triazine derivatives as 5-HT_{1A} receptor ligands. Related to compounds claimed by Patoiseau and Dupont-Passelaigue in WO9501965 and WO9616949.

(11) EP 0 911 321 A2

(12) EUROPEAN PATENT APPLICATION

(43) Date of publication: 26.01.1999 Bulletin 1999/05

(71) Applicant: PIERRE FABRE MEDICAMENT (FR) 45, place Jean Gaspard, F-63100 Clermont-Ferrand (FR)

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(54) CYCLOHEXANE DERIVATIVES DIFFUNCTIONALISED IN 1,4 AS LIGANDS OF 5-HT_{1A} RECEPTORS

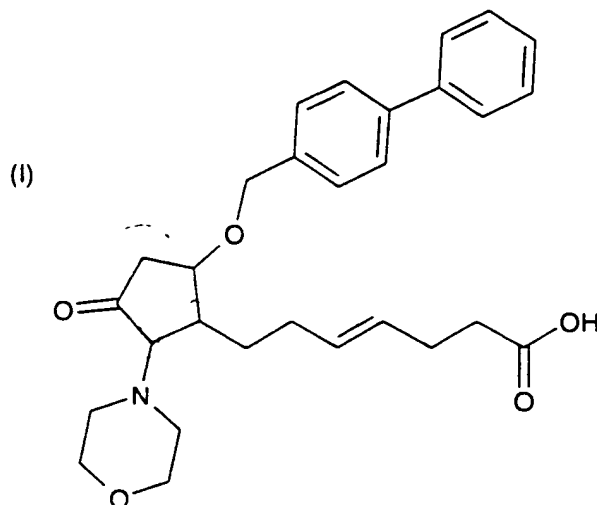
(57) This invention relates to cyclohexane derivatives difunctionalised in 1,4 of general formula (I) in which A represents a group such as (a) in which R₁ and R₂ represent an aromatic substituent such as phenyl or p-tolyl, or a heterocyclic substituent such as thienyl or furanyl, or a hetero group such as C₁, C₂, C₃, C₄, C₅, C₆, C₇, C₈, C₉, C₁₀, C₁₁, C₁₂, C₁₃, C₁₄, C₁₅, C₁₆, C₁₇, C₁₈, C₁₉, C₂₀, C₂₁, C₂₂, C₂₃, C₂₄, C₂₅, C₂₆, C₂₇, C₂₈, C₂₉, C₃₀, C₃₁, C₃₂, C₃₃, C₃₄, C₃₅, C₃₆, C₃₇, C₃₈, C₃₉, C₄₀, C₄₁, C₄₂, C₄₃, C₄₄, C₄₅, C₄₆, C₄₇, C₄₈, C₄₉, C₅₀, C₅₁, C₅₂, C₅₃, C₅₄, C₅₅, C₅₆, C₅₇, C₅₈, C₅₉, C₆₀, C₆₁, C₆₂, C₆₃, C₆₄, C₆₅, C₆₆, C₆₇, C₆₈, C₆₉, C₇₀, C₇₁, C₇₂, C₇₃, C₇₄, C₇₅, C₇₆, C₇₇, C₇₈, C₇₉, C₈₀, C₈₁, C₈₂, C₈₃, C₈₄, C₈₅, C₈₆, C₈₇, C₈₈, C₈₉, C₉₀, C₉₁, C₉₂, C₉₃, C₉₄, C₉₅, C₉₆, C₉₇, C₉₈, C₉₉, C₁₀₀, C₁₀₁, C₁₀₂, C₁₀₃, C₁₀₄, C₁₀₅, C₁₀₆, C₁₀₇, C₁₀₈, C₁₀₉, C₁₁₀, C₁₁₁, C₁₁₂, C₁₁₃, C₁₁₄, C₁₁₅, C₁₁₆, C₁₁₇, C₁₁₈, C₁₁₉, C₁₂₀, C₁₂₁, C₁₂₂, C₁₂₃, C₁₂₄, C₁₂₅, C₁₂₆, C₁₂₇, C₁₂₈, C₁₂₉, C₁₃₀, C₁₃₁, C₁₃₂, C₁₃₃, 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Use of EP4 receptor antagonists as bone resorption inhibitors - for the treatment of osteoarthritis, rheumatoid arthritis, osteoporosis, inflammatory bone diseases and hypocalcemia.

Drug Activity: Osteopathic; Antiarthritic; Antirheumatic; Antiinflammatory; Cardiovascular-Gen.

Mechanism of Action: Prostaglandin-Antagonist-EP4; Prostaglandin-Antagonist-E2

Compound Name: None Given



Use: As EP4 antagonists for the treatment of conditions with accelerated bone resorption (claimed) e.g. osteoarthritis, rheumatoid arthritis, osteoporosis, inflammatory bone diseases and hypocalcemia.

Dosage: 0.1-200 (0.1-10) mg/kg/day. Administration may be oral, parenteral, rectal or by inhalation.

Advantage: The compounds prevent accelerated bone resorption by inhibiting PGE₂-stimulated osteoclast-like cell formation in bone marrow.

Biological Data: None given.

Chemistry: The use of an EP4 antagonist in the treatment of conditions with accelerated bone resorption is claimed.

Preferably the EP4 antagonist is [1 α (Z),2 β ,5 α]-(-)-7-[5-[(1,1'-biphenyl)-4-yl]methoxy]-2-(4-morpholinyl)-3-oxocyclopentyl]-4-heptenoic acid (I) or its [1R[1 α (Z),2 β ,5 α]]-(-)-isomer or their salts and solvates.

7 pages

Drawings 0/0

Authors: Foord S M; Sheldrick R L G; Lumley P

Publication Date: 21 April 1999

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Priority: 07 February 1998 GB-002599

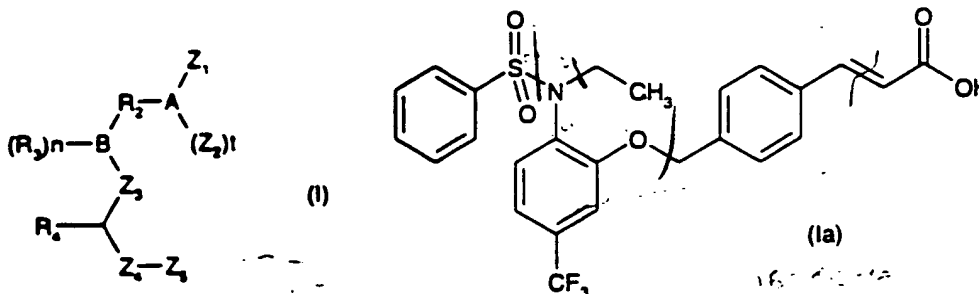
Location: Greenford, U.K.

Document Number: GB2330307-A

Filed: 07 February 1998 as 002599

New sulfonamide and carboxamide derivatives bind to prostaglandin E2 receptors - useful for e.g. promoting and inhibiting digestive tract motility, causing analgesia and as hypotensives.

Drug Activity: Inotropic-Pos.; Inotropic-Neg.; Gynecological; Gastrointestinal-Gen.; Analgesic; Sedative; Vasotropic; Hypotensive; Diuretic; Antidiarrheic; Antidiabetic; Antiulcer; Antiinflammatory; Tocolytic; Laxative; Tranquilizer
Mechanism of Action: Prostaglandin-Agonist-E2; Prostaglandin-Antagonist-E2
Compound Name: None Given



Use: As antagonists and agonists of prostaglandin E2 (PGE2) receptors for promoting or inhibiting uterine muscle contraction or digestive tract movement, as analgesics or hypnotics, for enlarging vascular capacity, for suppressing gastric acid secretion, and as hypotensives or diuretics, for treating diarrhea, diabetes, gastric ulcers, gastritis, to aid sleep and as antiabortifacient, laxatives and tranquilizers.

Dosage: 1 µg-100 mg/day orally or 0.1 µg-10 mg/day parenterally.

Advantage: None given.

Biological Data: In a PGE2 receptor binding assay (Ia) had a Ki of 0.0002 µM.

Chemistry: Sulfonamide and carboxamide derivatives of formula (I) and their salts are new.

ring A, ring B = 5-15C carbocyclyl or 5-7 membered heterocyclyl containing 1 or 2 O, N or S; Z1 = COR1, 1-4C alkylene-COR1, CH=CHCOR1, C=CCOR1, O-1-3C alkylene-COR1, or 1-5C alkylene-OH; R1 = OH 1-4C alkoxy or optionally substituted NH2; Z2 = H, 1-4C alkyl, 1-4C alkoxy, NO2, halo, CF3, CF3O, OH or COR1; Z3 = bond or 1-4C alkylene; Z4 = SO2 or CO; Z5 = 1-8C alkyl, 2-8C alkenyl, 2-8C alkynyl, optionally substituted cycloalkyl, phenyl or heterocyclyl or substituted alkyl, alkenyl or alkynyl; R2 = O, S, CO, or optionally substituted imino, CONH, NHCO or alkylene; R3 = H, 1-6C alkyl, 1-6C alkoxy, 1-6C alkylthio, NO2, halo, CF3, CF3O, OH or CH2OH; R4 = H, 2-8C alkenyl, 2-8C alkynyl or optionally substituted alkyl; n, i = 1-4; provided that when A = a benzene ring and (Z2)i = COR1 then Z1 is bonded to the 3 or 4 position of A.

(I) is e.g. 4-[2-(N-ethylphenylsulphonylamino)-5-trifluoromethylphenoxy]methyl] cinnamic acid (Ia).

305 pages

Drawings 0/0

Authors: Ohuchida S; Nagao Y
Publication Date: 25 June 1998
Language: Japanese
Priority: 21 October 1997 JP-305055

Location: Osaka, Japan
Document Number: WO9827053-A1
Filed: 12 December 1997 as J04593
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WD-98-008828

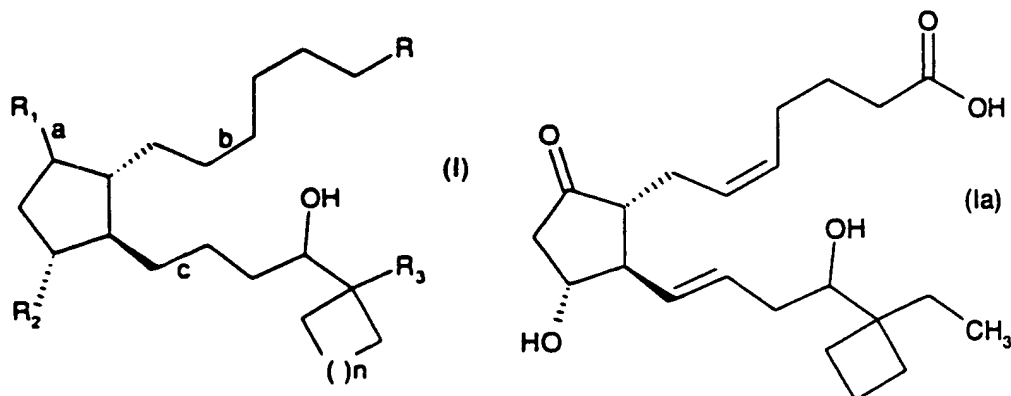
PP - Gastrointestinal, Inflammation & Allergy

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TX / 1251

New ω -cycloalkylprostaglandin E2 derivatives are EP2 receptor modulators - useful for the treatment of e.g. immunological diseases, asthma and abnormal bone formation.

Drug Activity: Immunomodulator; Antiasthmatic; Osteopathic; Neuroprotective; Hepatotropic; Antiinfertility; Tocolytic; Ophthalmological
Mechanism of Action: Prostaglandin-Antagonist-EP2; Prostaglandin-Agonist-EP2
Compound Name: None Given



Use: As EP2 receptor modulators and for the treatment and prevention of immunological diseases, asthma, abnormal bone formation, neuronal cell death, liver damage, abortion, premature birth or retina neuropathy of glaucoma (claimed).

Dosage: 1 μ g-100 mg orally; 0.1 μ g-10 mg parenterally. Administration is also rectal.

Advantage: Improved specificity and reduced side effects.

Biological Data: Compounds of the invention were assayed for activity against prostanoid receptor subtypes. Compound (Ia) showed K_i values of > 10 , 0.030, > 10 and $> 10 \mu$ M for receptors EP1, EP2, EP3 α and EP4 respectively.

Chemistry: ω -Cycloalkyl-prostaglandin E2 derivatives of formula (I) and their salts and cyclodextrin clathrates are new.

R = COOH or CH₂OH; R₁ = oxo, CH₂ or halo; R₃ = alkyl, alkenyl, alkynyl (all optionally substituted) or H; n = 0-4; a = optional double bond; b = optional double or triple bond; c = optional single, double or triple bond; Provisos are given.

Several compounds are specifically claimed e.g. (5Z,11 α ,13E)-11,16-dihydroxy-9-oxo-17,17-propano-20-norprosta-5,13-dienoic acid (Ia) (example 4(10)).

121 pages

Drawings 0/0

Authors: Tani K; Ohuchida S

Publication Date: 26 August 1998

Language: English

Priority: 06 November 1997 JP-319169

Location: Osaka, Japan

Document Number: EP-860430-A2

Filed: 03 February 1998 as 300769

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IT LI LU MC NL PT SE

WD-98-010805

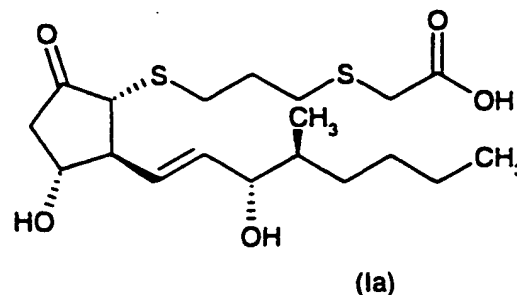
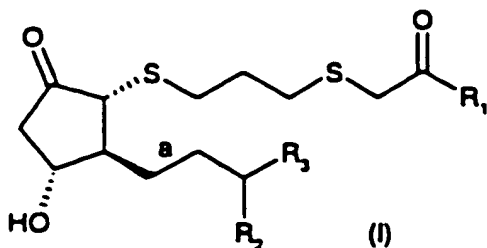
PP - Gastrointestinal, Inflammation & Allergy

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New 3,7-diathiaprostanoid acid derivatives - useful for the treatment and prevention of e.g. immunological disease, asthma, abnormal bone formation and neuronal cell death.

Drug Activity: Immunosuppressive; Immunostimulant; Antiasthmatic; Osteopathic; Neuroprotective; Hepatotropic; Nephrotropic; Antiinflammatory; Hypotensive; Cardiant; Vasotropic
Mechanism of Action: Prostaglandin-Agonist-E2; Prostaglandin-Agonist-EP4
Compound Name: None Given



Use: For the treatment and prevention of immunological diseases e.g. autoimmune diseases, immunological deficiency diseases and organ transplantation, asthma, abnormal bone formation, neuronal cell death, liver damage, nephritis, hypertension and myocardial ischemia (claimed).

Dosage: 1 µg-100 mg orally up to several times per day; 0.1 µg-10 mg parenterally up to several times per day. Administration may also be topical, rectal or vaginal.

Advantage: None given.

Biological Data: Membrane fraction was prepared using the prostanoid receptor subtypes (mouse EP3α, EP4) expressing CHO cells. A standard assay mixture containing membrane fraction (0.5 mg/ml), 2.5 nM of ³H-PGE₂ and various concentrations of the test compounds was incubated for 1 hour at room temperature. The reaction was terminated by the addition of ice-cold buffer. K_d and B_{max} values were determined and non-specific binding was calculated as the bound in the presence of an excess of unlabeled PGE₂. The dissociation constant (K_i) was then determined, and (Ia) produced a K_i of 0.0002 µM for EP4 receptor subtypes.

Chemistry: 3,7-Dithiaprostanoid acid derivatives of formula (I) and their salts and cyclodextrin clathrates are new.

R1 = OH, 1-4C alkoxy or NR6R7; R6, R7 = independently H or 1-4C alkyl; R2 = H or OH; R3 = optionally substituted 1-8C alkyl, optionally substituted 2-8C alkenyl, optionally substituted 2-8C alkynyl, Ph or 3-7C cycloalkyl; a = double or single bond; the derivative may include the 8-epi equilibrium compound; provisos are given.

Several compounds are specifically claimed e.g. 11α,15α-dihydroxy-9-oxo-16β-methyl-3,7-dithiaprost-13E-enoic acid (Ia) (Example 2(o)).

39 pages

Drawings 0/0

Authors: Maruyama T; Ohuchida S
Publication Date: 29 July 1998
Language: English
Priority: 27 January 1997 JP-027198

Location: Osaka, Japan
Document Number: EP-855389-A2
Filed: 26 January 1998 as 300513
Designated States: AT BE CH DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE

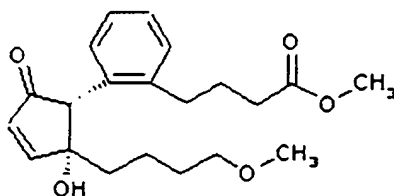
WD-98-009700

PP - Cardiovascular

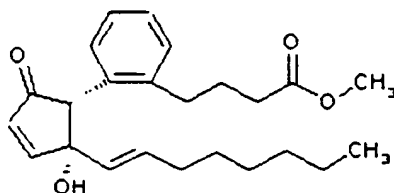
[Front Page](#)

[April 16, 1999] New series of osteogenesis-promoting agents developed at Taisho

Taisho scientists have prepared and evaluated two series of **phenyl-substituted hydroxycyclopentenone analogues with osteogenesis-promoting effects**. Compounds of the invention were found to significantly increase Ca^{2+} and alkaline phosphatase (ALP) in human long bone osteoblast cultures at a concentration of 5 μM (JP 99043460 and JP 99043459).



JP 99043460



JP 99043459

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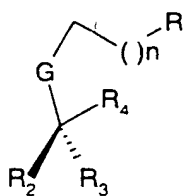
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Use of tetrahydrofuran prostaglandin analogs as prostaglandin DP/FP receptor agonists - for the treatment of glaucoma and ocular hypertension.

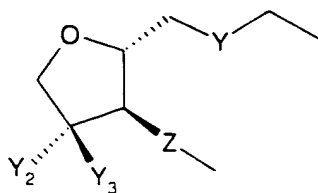
Drug Activity: Ophthalmological; Hypotensive

Mechanism of Action: Prostaglandin-Agonist

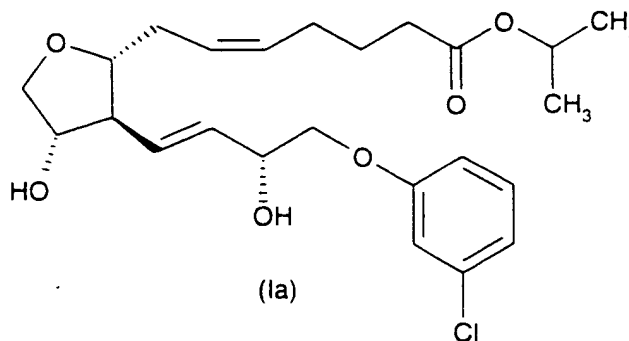
Compound Name: None Given



(I)



(i)



(Ia)

Use: For treating glaucoma and ocular hypertension (claimed). As agonists at the prostaglandin DP and FP receptors.

Dosage: 0.00003-0.5 (0.001-0.01) wt% solution for topical administration to the eye.

Advantage: Improved therapeutic profile compared to natural prostaglandins.

Biological Data: No data given.

Chemistry: The use of prostaglandin analogs of formula (I) for treating glaucoma or ocular hypertension is claimed.

R = an ester, CO₂R₁, CONR₇R₈, CH₂OR₉ or CH₂NR₁₀R₁₁; R₁ = H, or a cationic salt or ammonium moiety; R₇, R₈ = independently H or alkyl; R₉ = H, acyl, or alkyl; R₁₀, R₁₁ = independently H, acyl or alkyl (providing only one is acyl); n = 0 or 2; G = a group of formula (i) or two other defined tetrahydrofuran containing moieties; Y = CH₂CH=CH (cis), CH=CHCH₂ (cis) or CH₂CH₂CH₂; Z = CC, CH=CH (trans) or CH₂CH₂; one of Y₂, Y₃ = H, and the other = F or OH (which may be modified); R₄ = cyclohexyl, 5-7C alkyl or R₅; R₅ = (CH₂)_mXphenyl or (CH₂)_pZ₂; X = O or CH₂; m = 1-6; phenyl is optionally substituted with halo, CH₃, CF₃, CN, OCH₃ or acetyl; p = 0-6; Z₂ = a defined optionally substituted bicyclic carbocycle or O-containing heterocycle; Several provisos are given.

(I) is e.g. isopropyl [2R(5Z),3S(1E,3R),4S]-7-[tetrahydro-3-[4-(3-chlorophenoxy)-3-hydroxy-1-butenyl]-4-hydroxy-2-furanyl]-5-heptenoate (Ia) (compound VI).

24 pages

Drawings 0/0

Authors: Selliah R D

Publication Date: 23 December 1998

Language: English

Priority: 18 June 1997 US-878030

Location: Fort Worth, Tex., USA

Document Number: WO9857942-A1

Filed: 03 June 1998 as U11339

Designated States: Regional: AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE National: AU BR CA JP MX US

WD-99-000792

PP - Cardiovascular

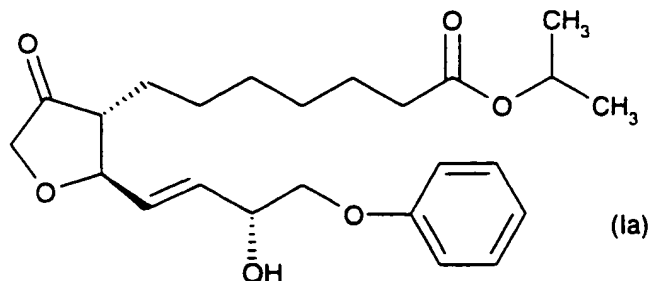
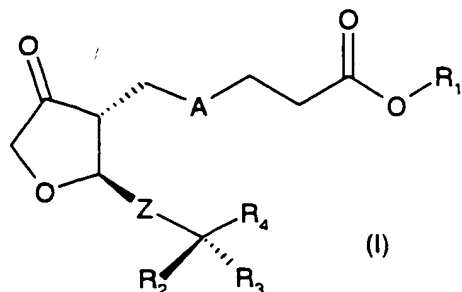
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Use of tetrahydrofuran prostaglandin analogs as prostaglandin receptor agonists - for the treatment of glaucoma and ocular hypertension.

Drug Activity: Ophthalmological; Hypotensive

Mechanism of Action: Prostaglandin-Agonist

Compound Name: None Given



Use: For treating glaucoma or ocular hypertension (claimed). As agonists at the prostaglandin EP receptor.

Dosage: 0.00003-0.5 (0.001-0.01) wt% solution for topical administration to the eye.

Advantage: Improved therapeutic profile compared to natural prostaglandins.

Biological Data: No suitable data given.

Chemistry: The use of prostaglandin analogs of formula (I) for treating glaucoma or ocular hypertension is claimed.

R₁ = H, 1-5C alkyl, 3-6C cycloalkyl or a cationic salt moiety; A = CH₂CH=CH (cis), CH=CHCH₂ (cis) or CH₂CH₂CH₂; Z = CC, CH=CH (trans) or CH₂CH₂; One of R₂, R₃ = H, and the other = F or OH (which may be modified), or R₂ and R₃ together = OCH₂CH₂O, or carbonyl; R₄ = (CH₂)_mXphenyl or (CH₂)_pZ₂. X = O or CH₂; m = 1-6; phenyl is optionally substituted with halo, CH₃, CF₃, CN, OCH₃ or acetyl. p = 0-6; Z₂ = a defined optionally substituted bicyclic carbocycle or O-containing heterocycle.

The use of isopropyl [2R(1E,3R,3R)-7-[tetrahydro-2-(4-phenoxy-3-hydroxy-1-butenyl)-4-oxo-3-furanyl]heptanoate (Ia) (compound III) is specifically claimed.

23 pages

Drawings 0/0

Authors: Selliah R D

Publication Date: 23 December 1998

Language: English

Priority: 18 June 1997 US-878031

Location: Fort Worth, Tex., USA

Document Number: WO9857930-A1

Filed: 03 June 1998 as U11340

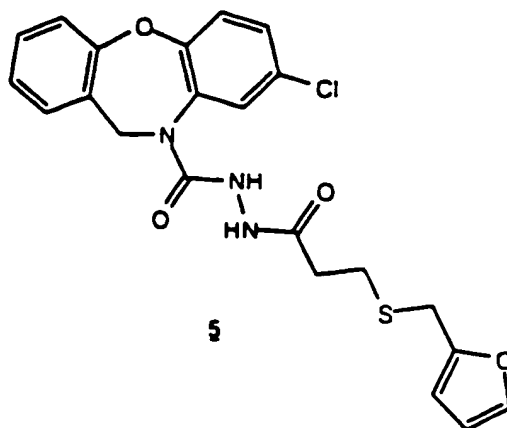
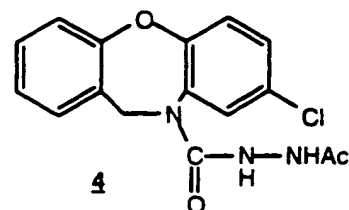
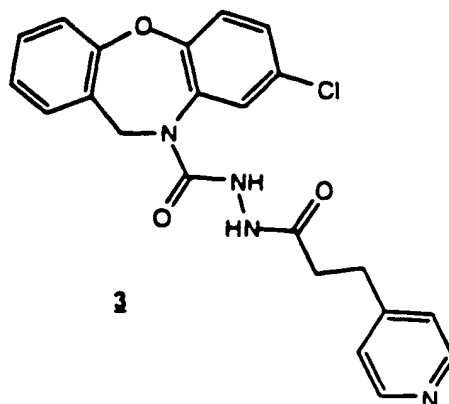
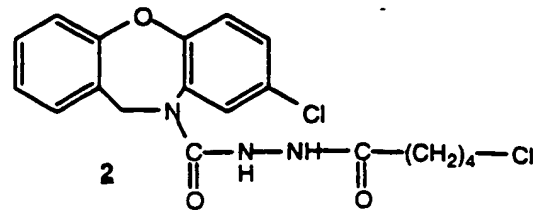
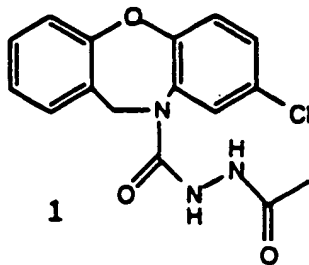
Designated States: Regional: AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE National: AU BR CA JP MX US

WD-99-000791

PP - Cardiovascular

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EP
antagonists



Recently, additional evidence for the involvement of PGE_2 and hence EP receptor subtypes in inflammation and pain has been reported. Specific monoclonal antibodies to PGE_2 (termed 2B5), that neutralize the activity of PGE_2 , were efficacious in a phenylbenzoquinone-induced model of nociception (20). Furthermore, these antibodies could reverse established hyperalgesia in a carrageenan-induced hyperalgesia model (21). The 2B5 antibodies were also able to substantially reverse edema

formation in a rat adjuvant-induced arthritis model (21). Remarkably, the efficacy of 2B5 in these inflammatory models was indistinguishable from that of indomethacin, a potent NSAID. In the most recent study, 2B5 was shown to be as efficacious as the COX-2 selective inhibitor, SC-58635, in a carrageenan-induced hyperalgesia model in rat (22). It is clear from these as well as previous studies that blockade of EP subtype receptor(s) could conceivably be as efficacious as NSAIDs in the treatment of inflammatory diseases without any of the undesirable side-effects associated with them.

Gastric Antisecretory and Cytoprotective Agents - PGs, especially PGE_2 , are known to have mucosal protective effects and act through a number of different mechanisms

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